10664338

> d his

(FILE 'HOME' ENTERED AT 19:21:22 ON 01 SEP 2004)

FILE 'REGISTRY' ENTERED AT 19:21:41 ON 01 SEP 2004
L1 STRUCTURE UPLOADED
L2 39 S L1
L3 STRUCTURE UPLOADED
L4 3 S L3
L5 840 S L1 SSS FULL
L6 3 S L3 SUB=L5 SAMPLE
L7 82 S L3 SSS FULL SUB=L5

FILE 'CAPLUS' ENTERED AT 19:26:52 ON 01 SEP 2004
L8 9 S L7

FILE 'CAOLD' ENTERED AT 19:28:20 ON 01 SEP 2004
L9 0 S L7

FILE 'CAPLUS' ENTERED AT 19:28:41 ON 01 SEP 2004
L10 84 S L5
L11 75 S L10 NOT L8
L12 61 S L11 AND PATENT/DT
L13 0 S L11 AND KINASE
L14 1 S L11 AND CANCER
L15 74 S L11 NOT L14

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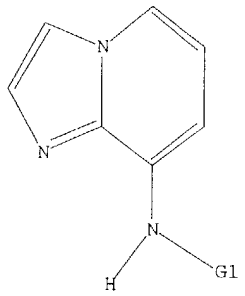
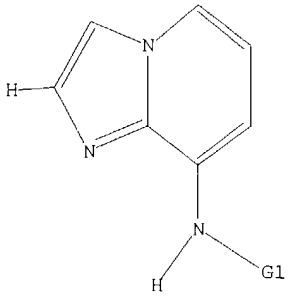
L1 STR



G1 C,S,N,Cy

Structure attributes must be viewed using STN Express query preparation.

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 C,S,N,Cy

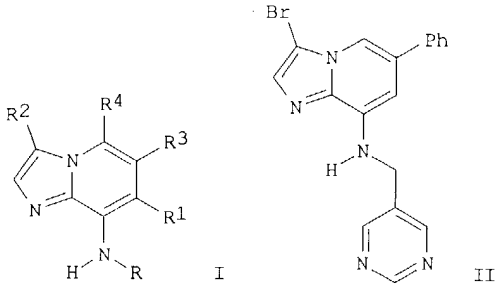
10664338

=> d 1-9 bib abs hitstr

L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:267330 CAPLUS
DN 140:303698
TI Preparation and pharmaceutical compositions of novel imidazopyridines as cyclin dependent kinase inhibitors
IN Dwyer, Michael P.; Guzi, Timothy J.; Paruch, Kamil; Doll, Ronald J.; Keertikar, Kartik M.; Girijavallabhan, Viyyoor M.
PA Schering Corporation, USA
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026867	A2	20040401	WO 2003-US29498	20030917
	WO 2004026867	A3	20040805		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004097517	A1	20040520	US 2003-664338	20030917
PRAI	US 2002-412063P	P	20020919		

OS MARPAT 140:303698
GI



I

II

AB In its many embodiments, the present invention provides a novel class of imidazo[1,2-a]pyridine compds. I [R = (un)substituted-alkyl, -aryl, -heteroaryl, -heterocyclyl, etc.; R1 = H, alkyl or aryl; R2 = H, (un)substituted-alkyl, -aryl, arylalkyl, alkenyl, etc.; R3 = H, halo, CF3, (un)substituted-alkyl, -aryl, etc.; R4 = H, halo, CF3, (un)substituted-alkyl, -cycloalkyl, etc.] as inhibitors of cyclin dependent kinases, methods of preparing such compds., pharmaceutical compns. containing one or more such compds., methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns. Thus, e.g., II was made by condensation of 8-amino-3-bromo-6-phenylimidazopyridine (preparation given) with 5-formylpyrimidine. In inhibition assays with CDK2, I possessed excellent inhibitory properties, e.g., II possessed an IC50 value of 0.12 μM.

IT **676370-49-3P 676370-51-7P 676370-53-9P**
676370-54-0P 676370-55-1P 676370-56-2P
676370-57-3P 676370-58-4P 676370-59-5P
676370-60-8P 676370-61-9P 676370-62-0P
676370-63-1P 676370-64-2P 676370-65-3P
676370-66-4P 676370-67-5P 676370-68-6P
676370-69-7P 676370-70-0P 676370-71-1P

676370-72-2P 676370-73-3P 676370-74-4P
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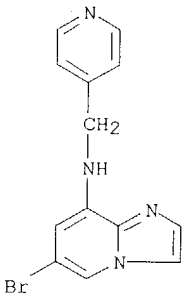
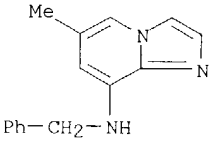
676370-87-9P 676370-88-0P 676370-89-1P
676370-90-4P 676370-91-5P 676370-92-6P
676370-93-7P 676370-94-8P 676370-95-9P
676370-96-0P 676370-97-1P 676370-98-2P
676370-99-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazopyridines as cyclin dependent kinase inhibitors)

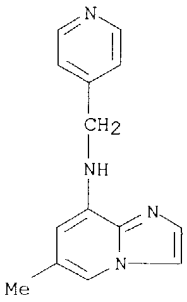
RN 676370-49-3 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-bromo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



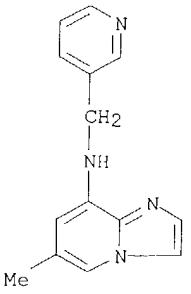
RN 676370-51-7 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



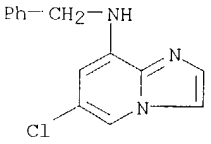
RN 676370-53-9 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-methyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



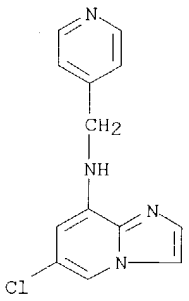
RN 676370-54-0 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-methyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



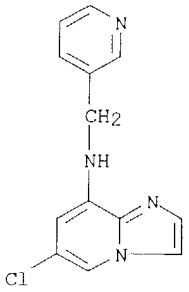
RN 676370-55-1 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-chloro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



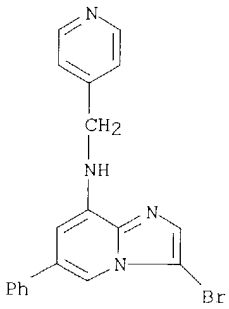
RN 676370-56-2 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-chloro-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



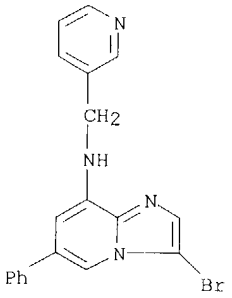
RN 676370-57-3 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 6-chloro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



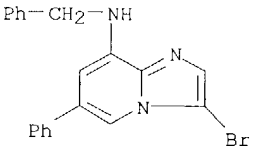
RN 676370-58-4 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



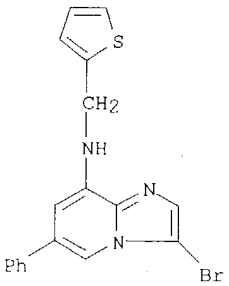
RN 676370-59-5 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



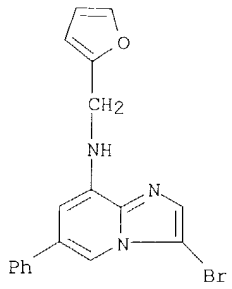
RN 676370-60-8 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



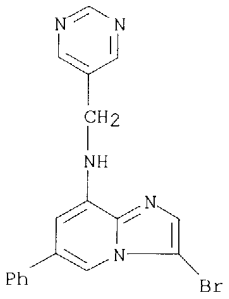
RN 676370-61-9 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-phenyl-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



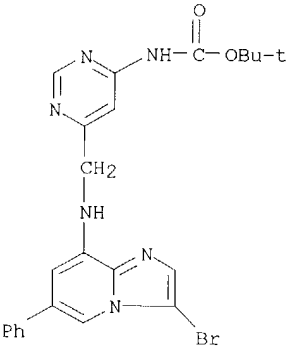
RN 676370-62-0 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-(2-furanylmethyl)-6-phenyl- (9CI) (CA INDEX NAME)



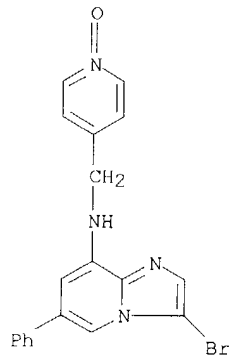
RN 676370-63-1 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-phenyl-N-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



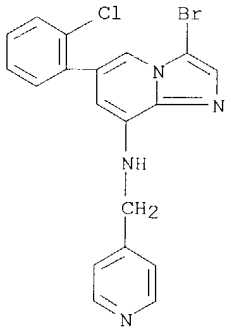
RN 676370-64-2 CAPLUS
CN Carbamic acid, [6-[[(3-bromo-6-phenylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-4-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



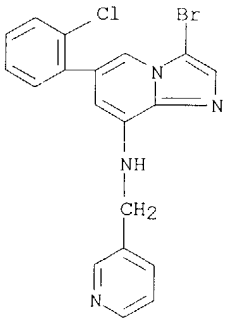
RN 676370-65-3 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-[(1-oxido-4-pyridinyl)methyl]-6-phenyl- (9CI) (CA INDEX NAME)



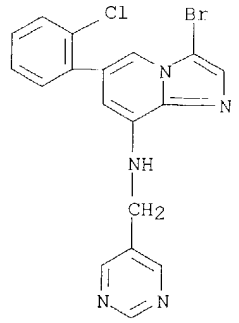
RN 676370-66-4 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



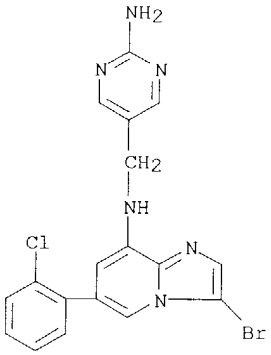
RN 676370-67-5 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



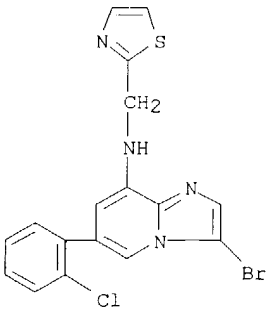
RN 676370-68-6 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



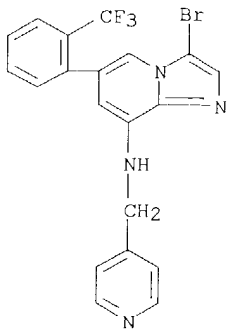
RN 676370-69-7 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, N-[(2-amino-5-pyrimidinyl)methyl]-3-bromo-6-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



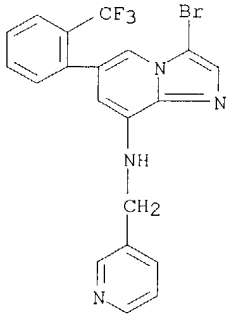
RN 676370-70-0 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)



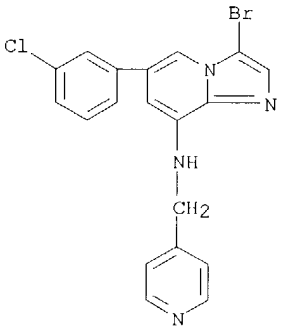
RN 676370-71-1 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-(4-pyridinylmethyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



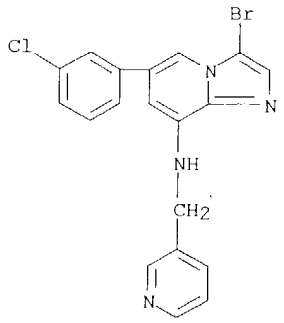
RN 676370-72-2 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-(3-pyridinylmethyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 676370-73-3 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(3-chlorophenyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 676370-74-4 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(3-chlorophenyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 676370-75-5 CAPLUS
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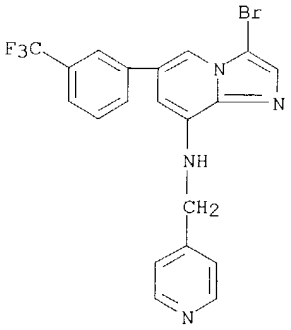
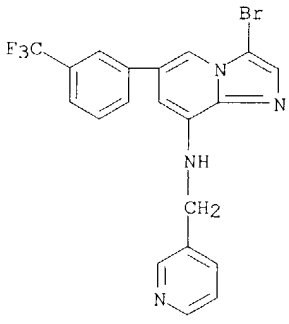
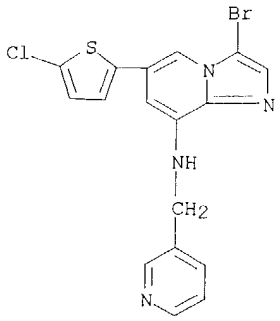


RN 676370-76-6 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-(3-pyridinylmethyl)-6-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 676370-77-7 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(5-chloro-2-thienyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 676370-78-8 CAPLUS
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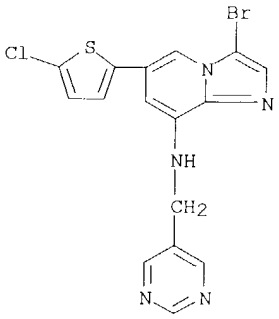

RN 676370-79-9 CAPLUS
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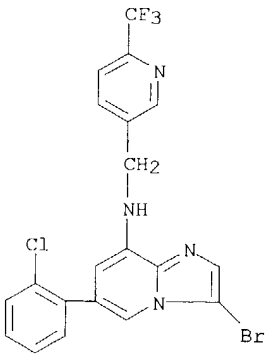
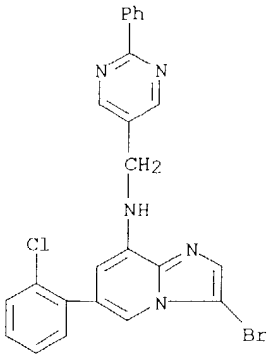
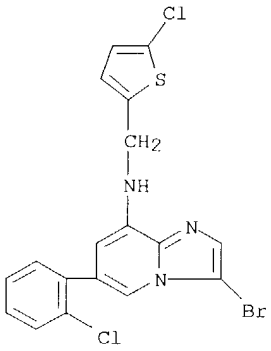


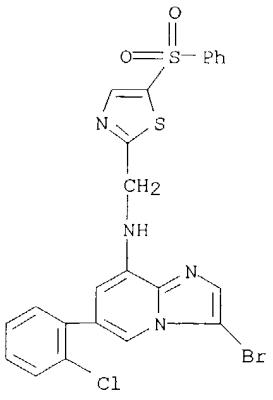
RN 676370-80-2 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-[(2-phenyl-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



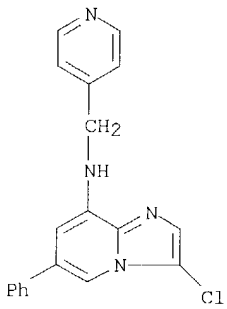
RN 676370-81-3 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-[(5-chloro-2-thienyl)methyl]- (9CI) (CA INDEX NAME)



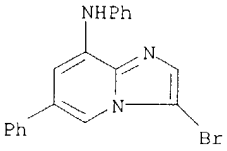
RN 676370-82-4 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-6-(2-chlorophenyl)-N-[[5-(phenylsulfonyl)-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



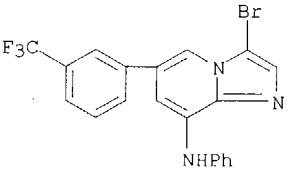
RN 676370-83-5 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-chloro-6-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



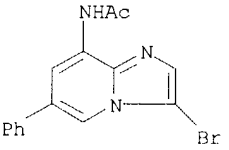
RN 676370-84-6 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N,6-diphenyl- (9CI) (CA INDEX NAME)



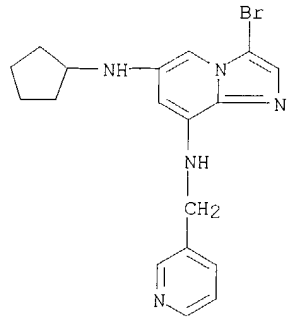
RN 676370-85-7 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-bromo-N-phenyl-6-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



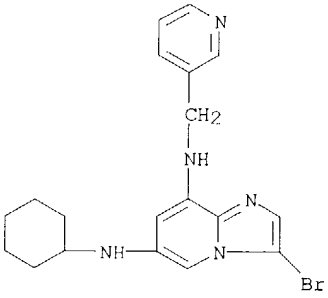
RN 676370-86-8 CAPLUS
CN Acetamide, N-(3-bromo-6-phenylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



RN 676370-87-9 CAPLUS
CN Imidazo[1,2-a]pyridine-6,8-diamine, 3-bromo-N6-cyclopentyl-N8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

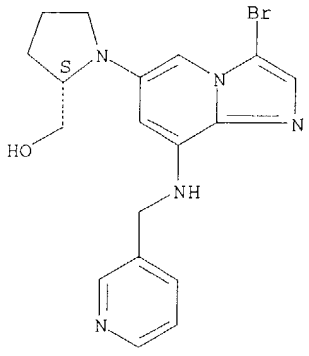


RN 676370-88-0 CAPLUS
CN Imidazo[1,2-a]pyridine-6,8-diamine, 3-bromo-N6-cyclohexyl-N8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



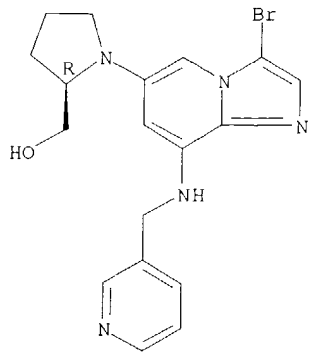
RN 676370-89-1 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



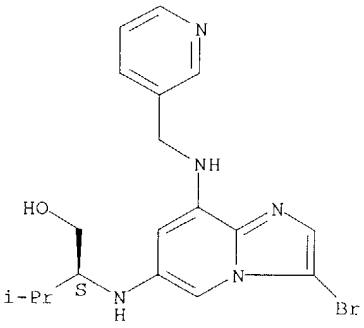
RN 676370-90-4 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



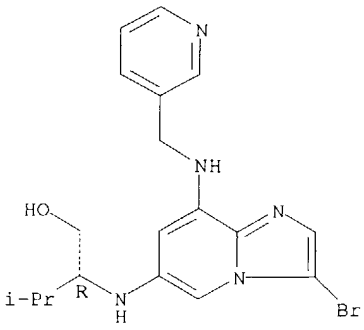
RN 676370-91-5 CAPLUS
CN 1-Butanol, 2-[[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

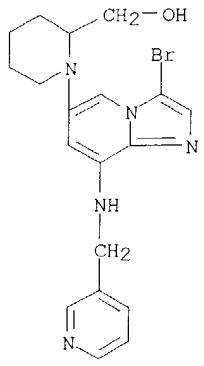


RN 676370-92-6 CAPLUS
CN 1-Butanol, 2-[[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

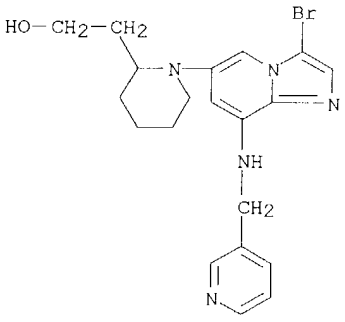
Absolute stereochemistry.



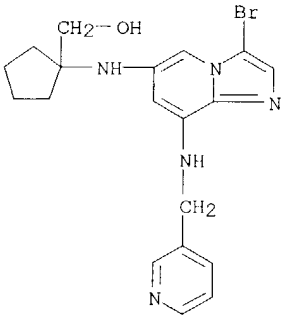
RN 676370-93-7 CAPLUS
CN 2-Piperidinemethanol, 1-[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]- (9CI) (CA INDEX NAME)



RN 676370-94-8 CAPLUS
CN 2-Piperidineethanol, 1-[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]- (9CI) (CA INDEX NAME)

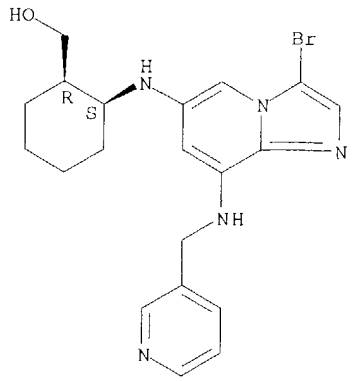


RN 676370-95-9 CAPLUS
CN Cyclopentanemethanol, 1-[[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]amino]- (9CI) (CA INDEX NAME)



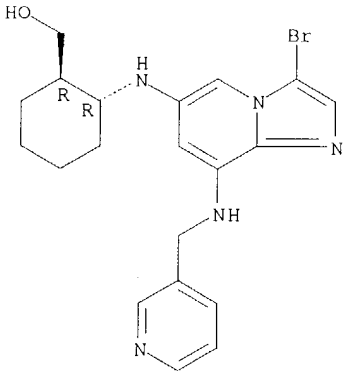
RN 676370-96-0 CAPLUS
CN Cyclohexanemethanol, 2-[[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]amino]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

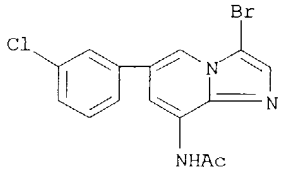


RN 676370-97-1 CAPLUS
CN Cyclohexanemethanol, 2-[[3-bromo-8-[(3-pyridinylmethyl)amino]imidazo[1,2-a]pyridin-6-yl]amino]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

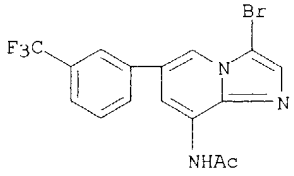
Relative stereochemistry.



RN 676370-98-2 CAPLUS
CN Acetamide, N-[3-bromo-6-(3-chlorophenyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)

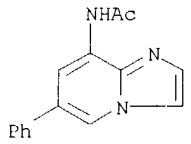


RN 676370-99-3 CAPLUS
CN Acetamide, N-[3-bromo-6-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)

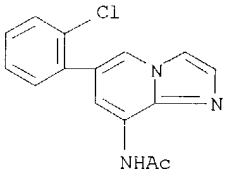


IT **676371-09-8P 676371-10-1P 676371-11-2P**
676371-12-3P 676371-13-4P 676371-14-5P
676371-15-6P 676371-16-7P 676371-17-8P
676371-18-9P 676371-25-8P 676371-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazopyridines as cyclin dependent kinase inhibitors)

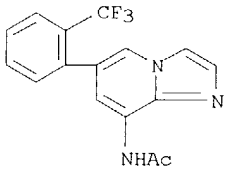
RN 676371-09-8 CAPLUS
CN Acetamide, N-(6-phenylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



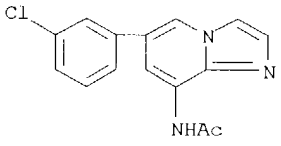
RN 676371-10-1 CAPLUS
CN Acetamide, N-[6-(2-chlorophenyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



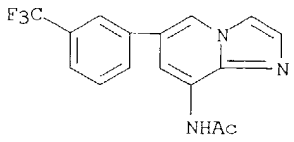
RN 676371-11-2 CAPLUS
CN Acetamide, N-[6-[2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



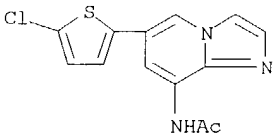
RN 676371-12-3 CAPLUS
CN Acetamide, N-[6-(3-chlorophenyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



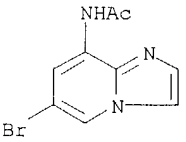
RN 676371-13-4 CAPLUS
CN Acetamide, N-[6-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



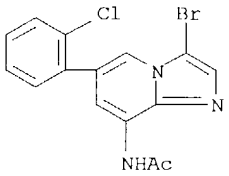
RN 676371-14-5 CAPLUS
CN Acetamide, N-[6-(5-chloro-2-thienyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



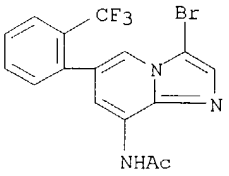
RN 676371-15-6 CAPLUS
CN Acetamide, N-(6-bromoimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



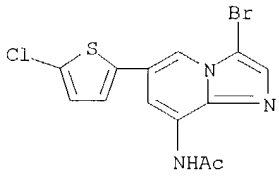
RN 676371-16-7 CAPLUS
CN Acetamide, N-[3-bromo-6-(2-chlorophenyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



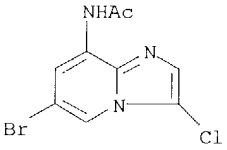
RN 676371-17-8 CAPLUS
CN Acetamide, N-[3-bromo-6-[2-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



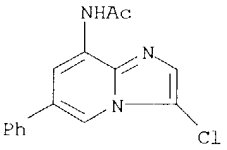
RN 676371-18-9 CAPLUS
CN Acetamide, N-[3-bromo-6-(5-chloro-2-thienyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



RN 676371-25-8 CAPLUS
CN Acetamide, N-(6-bromo-3-chloroimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



RN 676371-26-9 CAPLUS
CN Acetamide, N-(3-chloro-6-phenylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:737761 CAPLUS
DN 139:261331
TI Preparation of 3-(tricyclic fused heteroaryl) 4-heteroaryl substituted 2,5-dioxopyrroles as GSK-3β kinase inhibitors
IN Clayton, Joshua Ryan; Diefenbacher, Clive Gideon; Engler, Thomas Albert; Furness, Kelly Wayne; Henry, James Robert; Malhotra, Sushant; Marquart, Angela Lynn; McLean, Johnathan Alexander; Mendel, David; Burkholder, Timothy Paul; Li, Yihong; Reel, Jon Kevin
PA Eli Lilly and Company, USA; et al.
SO PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003076442	A1	20030918	WO 2003-US5050	20030304
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2002-362245P	P	20020305		

OS MARPAT 139:261331
GI

10664338

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, alkyl; m = 0-4; R = (CH2)n, CHMe, CMe2, CH2Q1CH2, CHOHCHOHCH2; Q1 = CHOH, CO; n = 0-4; WXY = (CH2)3, (un)substituted CH2NHCH2, NHCOCH2, etc.; Ar = benzofuryl, benzothienyl, indolyl, etc.], useful for treating GSK-3β mediated diseases such as diabetes and Alzheimer's disease, were prepared Thus, treating 3-(6,7-dihydro-6H-[1,4]diazepino[6,7,1-hj]indol-1-yl)-4-(imidazo[1,2-a]pyridin-3-yl)pyrrole-2,5-dione dihydrochloride (preparation given) with di-Ph cyanocarbonimidate in the presence of Et3N in iso-PrOH followed by addition of morpholine afforded II. The exemplified compds. I exhibit IC50 of ≤ 0.2 μM against GSK-2β. Pharmaceutical composition comprising the compound I was claimed.

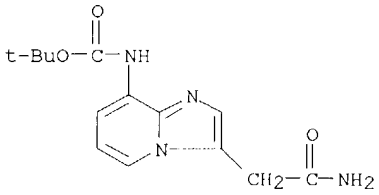
IT **603309-38-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-(tricyclic fused heteroaryl) 4-heteroaryl substituted 2,5-dioxopyrroles as GSK-3β kinase inhibitors)

RN 603309-38-2 CAPLUS

CN Carbamic acid, [3-(2-amino-2-oxoethyl)imidazo[1,2-a]pyridin-8-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:379145 CAPLUS

DN 137:352952

TI Synthetic approach to imidazo[1,2-a]pyridine derivatives by the intramolecular nitrone cycloaddition methodology

AU Basso, Diego; Broggini, Gianluigi; Passarella, Daniele; Pilati, Tullio; Terraneo, Alberto; Zecchi, Gaetano

CS Dipartimento di Scienze Chimiche, Fisiche e Matematiche, Universita dell'Insubria, Como, 22100, Italy

SO Tetrahedron (2002), 58(22), 4445-4450
CODEN: TETRAB; ISSN: 0040-4020

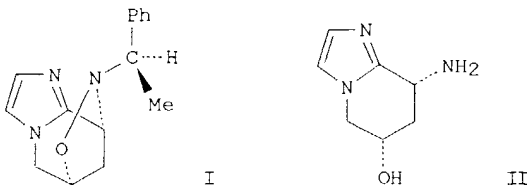
PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:352952

GI



I

II

AB N-Benzyl and (R)-N-(α-phenylethyl) nitrones derived from 1-allyl-2-imidazolecarboxaldehyde underwent intramol. cycloaddn. to give predominantly bridged-ring products, namely, 5,6,8,9-tetrahydro-6,9-methanoimidazo[2,1-d][1,2,5]oxadiazepine derivs., e.g., I. Catalytic hydrogenation of the latter furnished both racemic and enantiopure 6,8-functionalized 5,6,7,8-tetrahydroimidazo[1,2-a]pyridines, e.g., II.

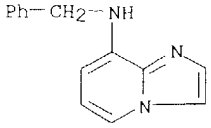
IT **474623-13-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of imidazo[1,2-a]pyridines by intramol. nitrone cycloaddn. methodol.)

RN 474623-13-7 CAPLUS

CN Imidazo[1,2-a]pyridin-8-amine, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:658147 CAPLUS

DN 135:357881

TI Heterocyclization of Functionalized Vinylic Derivatives of Imidazo[1,2-a]pyridines

AU Chezal, Jean M.; Moreau, Emmanuel; Delmas, Gregory; Gueiffier, Alain; Blache, Yves; Grassy, Gerard; Lartigue, Claire; Chavignon, Olivier; Teulade, Jean C.

CS Faculte de Pharmacie, UMR INSERM 484 Universite d'Auvergne, Clermont-Ferrand, 63001, Fr.

SO Journal of Organic Chemistry (2001), 66(20), 6576-6584
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

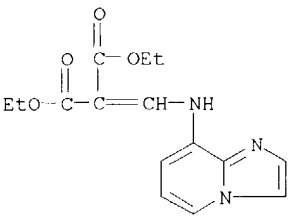
OS CASREACT 135:357881

AB Heterocyclization of functionalized vinylic derivs. of imidazo[1,2-a]pyridines was explored exptl. and theor. using semiempirical AM1 and ab initio methods. A range of functionalized vinylic derivs. (azido, amino, and carbodiimide groups) were prepared for conversion into pyrroloazaindoles, imidazo[1,x]-, (x = 5, 6, 7, 8), [2,6]-, and [2,7]naphthyridines by thermal reaction. In the case of vinylic groups in the 5 position, peri annulation also was observed The exptl. and theor. data are compared and discussed.

IT **372147-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrroloazaindoles and naphthyridinoimidazoles by regioselective cyclization of vinyl azide-, amine- or heterocumulene-substituted imidazo[1,2-a]pyridines)

RN 372147-81-4 CAPLUS

CN Propanedioic acid, [(imidazo[1,2-a]pyridin-8-ylamino)methylene]-, diethyl ester (9CI) (CA INDEX NAME)



RE.CNT 137 THERE ARE 137 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:581738 CAPLUS

DN 135:175421

TI Integrin expression inhibitors

IN Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro

10664338

PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

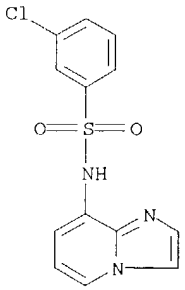
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001056607	A1	20010809	WO 2001-JP713	20010201
	W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2001028867	A5	20010814	AU 2001-28867	20010201
	EP 1258252	A1	20021120	EP 2001-948941	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	NZ 520299	A	20040528	NZ 2001-520299	20010201
	US 2004018192	A1	20040129	US 2002-181562	20020718
	NO 2002003688	A	20021003	NO 2002-3688	20020802
PRAI	JP 2000-26080	A	20000203		
	JP 2000-402084	A	20001228		
	WO 2001-JP713	W	20010201		

OS MARPAT 135:175421

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated

IT **165668-42-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(integrin expression inhibitors for medical uses)

RN 165668-42-8 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-imidazo[1,2-a]pyridin-8-yl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

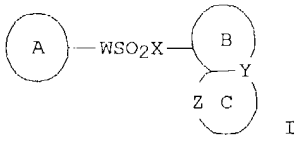
L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:780886 CAPLUS
DN 133:340214
TI Neovascularization inhibitors containing sulfonamides or sulfonate esters, and their use for treatment of metastasis, retinal neovascularization, diabetic retinopathy, and inflammation

10664338

IN Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Senba, Taro; Hata, Naoko; Yamamoto, Hiroyuki; Ozawa, Yoichi; Tsukahara, Naoko; Haneda, Akira; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Yamato, Takashi; Okauchi, Tatsuo; Yoshino, Hiroshi
PA Eisai Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

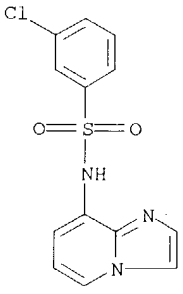
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000309534	A2	20001107	JP 2000-48403	20000225
PRAI JP 1999-49871	A	19990226		

OS MARPAT 133:340214
GI



I

AB Neovascularization inhibitors contain sulfonic acid derivs. I [ring A = (un)substituted mono- or dicyclic aromatic ring; ring B = (un)substituted 6-membered unsatd. hydrocarbyl, (un)substituted 6-membered unsatd. heterocyclyl containing 1 N; ring C = (un)substituted 5-membered heterocyclyl containing 1 or 2 N; W = bond, CH:CH; X = NR1, O; Y = C, N; Z = NR2, N; R1, R2 = H, lower alkyl], their pharmacol. acceptable salts, or their their hydrates as active ingredients. Condensation of 1.50 g 7-amino-1H-indole with 2.57 g 4-nitrobenzenesulfonyl chloride gave 3.50 g N-(1H-indol-7-yl)-4-nitrobenzenesulfonamide, which inhibit neovascularization with IC50 of 1.45 μg/mL.
IT **165668-42-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides or sulfonate esters as neovascularization inhibitors)
RN 165668-42-8 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-imidazo[1,2-a]pyridin-8-yl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

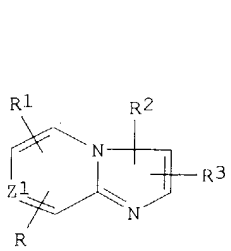
L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:44647 CAPLUS
DN 126:74840
TI Preparation of imidazo[1,2-a]pyridines as bone resorption inhibitors
IN Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko;

10664338

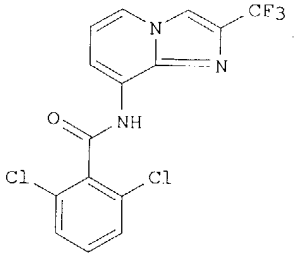
Yoshihara, Kousei; Oku, Teruo
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9634866	A1	19961107	WO 1996-JP1103	19960423
	W: AU, CA, CN, JP, KR, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9653483	A1	19961121	AU 1996-53483	19960423
	JP 11505524	T2	19990521	JP 1996-533169	19960423
PRAI	GB 1995-8826		19950501		
	GB 1995-12972		19950626		
	GB 1995-16647		19950814		
	WO 1996-JP1103		19960423		

OS MARPAT 126:74840
GI



I

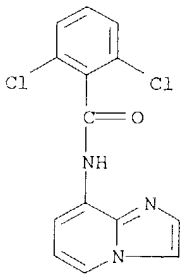


II

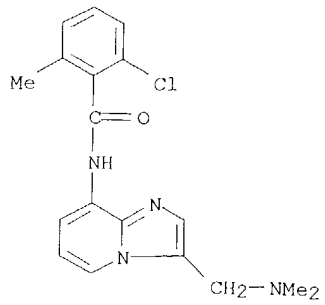
AB Title compds. [I; R = ZR6; R1 = H, halo, alkyl, acyl, etc.; R2 = H, alkyl, acyl, aryl, etc.; R3 = H, halo, alkyl, alkoxy, etc.; R6 = heterocyclyl or aryl; Z = bond, CH:CH, NHCO, O2C, OCH2, etc.; Z1 = CH or N] were prepared Thus, 2,3-diaminopyridine was cyclocondensed with ClCH2COCF3 and the product amidated by 2,6-Cl2C6H3COCl to give title compound II. Data for bone resorption inhibitory activity of 1 I were given.

IT **185131-17-3P 185131-48-0P 185131-64-0P**
185132-12-1P 185132-18-7P 185132-46-1P
185133-07-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[1,2-a]pyridines as bone resorption inhibitors)

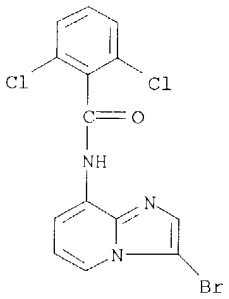
RN 185131-17-3 CAPLUS
CN Benzamide, 2,6-dichloro-N-imidazo[1,2-a]pyridin-8-yl- (9CI) (CA INDEX NAME)



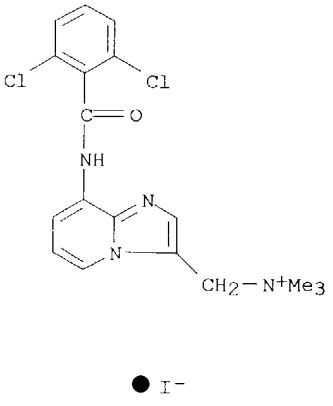
RN 185131-48-0 CAPLUS
CN Benzamide, 2-chloro-N-[3-[(dimethylamino)methyl]imidazo[1,2-a]pyridin-8-yl]-6-methyl- (9CI) (CA INDEX NAME)



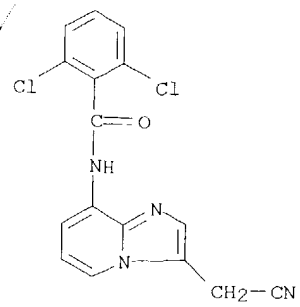
RN 185131-64-0 CAPLUS
CN Benzamide, N-(3-bromoimidazo[1,2-a]pyridin-8-yl)-2,6-dichloro- (9CI) (CA INDEX NAME)



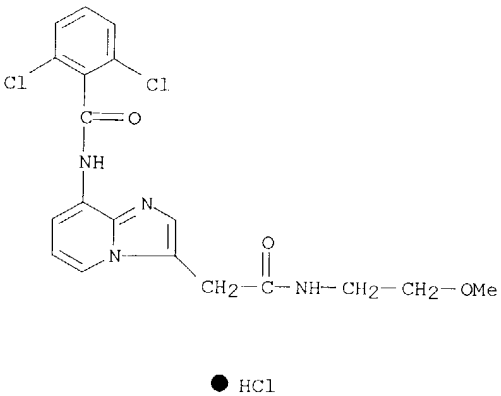
RN 185132-12-1 CAPLUS
CN Imidazo[1,2-a]pyridine-3-methanaminium, 8-[(2,6-dichlorobenzoyl)amino]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)



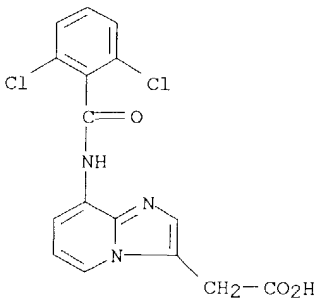
RN 185132-18-7 CAPLUS
CN Benzamide, 2,6-dichloro-N-[3-(cyanomethyl)imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



RN 185132-46-1 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetamide, 8-[(2,6-dichlorobenzoyl)amino]-N-(2-methoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 185133-07-7 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetic acid, 8-[(2,6-dichlorobenzoyl)amino]- (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:713785 CAPLUS
DN 123:111849
TI Preparation of bicyclic heterocyclic sulfonamide and sulfonic ester derivatives as antitumor agents
IN Yoshino, Hiroshi; Yamato, Takashi; Okauchi, Tatsuo; Yoshimatsu, Kentaro; Sugi, Naoko; Nagasu, Takeshi; Ozawa, Yoichi; Koyanagi, Nozomu; Kito, Kyosuke
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA Japanese

10664338

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507276	A1	19950316	WO 1994-JP1487	19940908
	W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 07165708	A2	19950627	JP 1994-207568	19940831
	JP 3545461	B2	20040721		
	AU 9476237	A1	19950327	AU 1994-76237	19940908
	AU 683492	B2	19971113		
	EP 673937	A1	19950927	EP 1994-926372	19940908
	EP 673937	B1	20031126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	HU 71551	A2	19951228	HU 1995-1363	19940908
	CN 1114506	A	19960103	CN 1994-190672	19940908
	CN 1079097	B	20020213		
	RU 2121997	C1	19981120	RU 1996-119782	19940908
	RU 2128648	C1	19990410	RU 1995-112848	19940908
	HU 217842	B	20000428	HU 1996-2147	19940908
	AT 255106	E	20031215	AT 1994-926372	19940908
	PT 673937	T	20040430	PT 1994-926372	19940908
	ES 2206469	T3	20040516	ES 1994-926372	19940908
	NO 9501813	A	19950509	NO 1995-1813	19950509
	FI 9502272	A	19950706	FI 1995-2272	19950510
	US 5721246	A	19980224	US 1995-433493	19950510
	AU 9717785	A1	19970814	AU 1997-17785	19970409
	AU 711438	B2	19991014		
PRAI	JP 1993-248614	A	19930910		
	JP 1994-207568	A	19940831		
	HU 1995-1363	A	19940908		
	WO 1994-JP1487	W	19940908		

OS MARPAT 123:111849

GI For diagram(s), see printed CA Issue.

AB Novel bicyclic heterocyclic sulfonamide and sulfonic ester derivs. represented by general formula [I; ring A = (un)substituted mono- or bicyclic aromatic group; ring B = (un)substituted 6-membered unsatd. hydrocarbon ring or 6-membered unsatd. heterocyclic group containing one N atom; ring C = (un)substituted 5-membered heterocyclic group containing one or two N atoms; W = a single bond or CH:CH; X = NR1 or O; Y = C or N; Z = NR2 or N; wherein R1, R2 = H, lower alkyl] or pharmacol. acceptable salts thereof, having an antitumor activity with reduced toxicity, are prepared Thus, 1.50 g 7-amino-1H-indole (preparation given) was dissolved in 40 mL pyridine followed by adding 2.57 g 4-nitrobenzenesulfonyl chloride and the mixture was stirred at room temperature overnight to give, after silica gel chromatog., 3.50 g 7-(phenylsulfonylamino)indole derivative (II; X1 = NO2, R = H). 50 7-(Phenylsulfonylamino)indole derivs. in vitro showed IC50 of 0.09-0.87 μg/mL for inhibiting the proliferation of mouse colon 38 cancer cells. I (X1 = MeSO2NH, R = Cl) at 100 mg/kg i.p. per day for 4 consecutive days inhibited 97% the growth of human colon cancer HCT116 cells transplanted in mice 21 days after the administration and gave 100% survival rate for the animals.

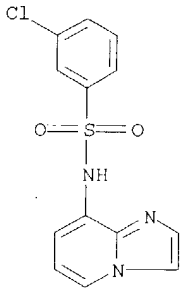
IT **165668-42-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylsulfonylamino)indole derivative as antitumor agents)

RN 165668-42-8 CAPLUS

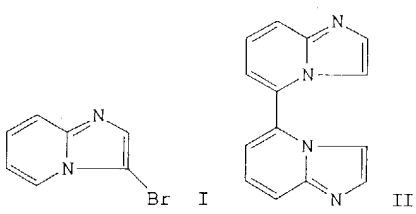
CN Benzenesulfonamide, 3-chloro-N-imidazo[1,2-a]pyridin-8-yl-, monohydrochloride (9CI) (CA INDEX NAME)

10664338



● HCl

L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:459860 CAPLUS
DN 89:59860
TI Teleamination of the imidazo[1,2-a]pyridine system
AU Hand, E. Smakula; Paudler, William W.
CS Dep. Chem., Univ. Alabama, University, AL, USA
SO Journal of Organic Chemistry (1978), 43(14), 2900-6
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 89:59860
GI

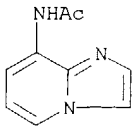


I II

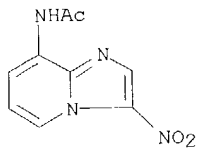
AB The reaction of 3-bromoimidazo[1,2-a]pyridine (I) with strong bases led to metal-halogen and alkyl-halogen (coupling) exchange at the 3 position of the imidazole ring with MeLi, but led to debromination, coupling via the 5 position (to give the dehydrodimer II), and tele-substitution at all positions of the pyridinoid ring with metal amides. The products obtained depended on the amide used. A bromination product and a Chichibabin amination product were also formed. The coupling product II was obtained when the parent imidazo[1,2-a]pyridine was treated with KNH2. Reaction mechanisms were discussed.

IT **66358-12-1P 66358-16-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66358-12-1 CAPLUS
CN Acetamide, N-imidazo[1,2-a]pyridin-8-yl- (9CI) (CA INDEX NAME)



RN 66358-16-5 CAPLUS
CN Acetamide, N-(3-nitroimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)


NHAc
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NO2

10664338

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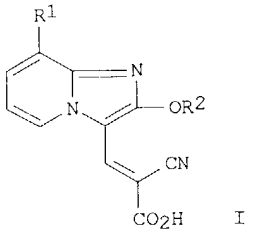
L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:566044 CAPLUS
DN 131:199698
TI Preparation of 1-azaindolizine derivatives as inhibitors of cell adhesion molecules
IN Kawashima, Seiichiro; Matsuno, Toshiyuki; Sakai, Akira; Harada, Hidenori; Sasahara, Hiroya; Watanabe, Tetsuo; Inaba, Masahiro; Haruta, Kazuhiko
PA Zenyaku Kogyo Kabushiki Kaisha, Japan
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943673	A1	19990902	WO 1999-JP918	19990226
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9926409	A1	19990915	AU 1999-26409	19990226
PRAI	JP 1998-45545		19980226		
	WO 1999-JP918		19990226		

OS MARPAT 131:199698
GI

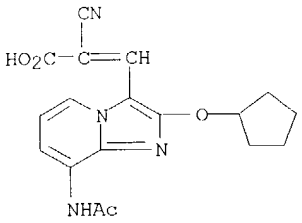


I

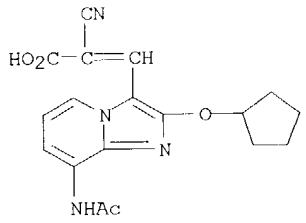
AB The title 1-azaindolizine, i.e. imidazo[1,2-a]pyridine derivs., represented by general formula (I) or pharmacol. acceptable salts thereof [wherein R1 represents -OR3 or -NHCOR4 (wherein R3 is C3-8 cycloalkyl, C1-6 alkyl substituted by C3-8 cycloalkyl, carboxyl-substituted C1-6 alkyl, or phenyl-substituted C1-6 alkyl, and R4 is C1-6 alkyl or C3-8 cycloalkyl); and R2 represents C1-6 alkyl (optionally substituted by two halogen atoms), C3-8 cycloalkyl, C5-10 alkenyl, or -(CH2)mR5 (wherein m is 1 or 2 and R5 is C3-8 cycloalkyl, C1-6 alkoxy, or tetrahydrofuryl)] are prepared These compds. inhibit infiltration of leukocyte to inflammatory tissues based on inhibiting the adhesion of leukocyte to vascular endothelial cells and are useful as antiinflammatory and antiallergic agents, **cancer** metastasis inhibitors, and inhibitors of organ transplant rejection, and for the treatment of autoimmune diseases, septic shock, and ischemic reperfusion disorder. Thus, Na was added to anhydrous EtOH, stirred at room temperature for 1 h, treated with 586 mg 2-amino-3-cyclobutoxy-1-(ethoxycarbonylmethyl)pyridinium bromide, and stirred at room temperature for 1 h. To the reaction mixture was added 409 g 1-(benzyloxycarbonyl)-1-cyano-2-ethoxyethylene at 0° and stirred at 0° for 4 h to give a crystalline intermediate which was suspended in 5 mL DMSO, treated with 0.42 mL iso-Pr bromide, and stirred at 55° for 5 h to give 3-(2-(benzyloxycarbonyl)-2-cyanovinyl)-8-cyclobutoxyimidazo[1,2-a]pyridine. The latter compound was hydrogenolyzed over 10% Pd-C in ethanol under hydrogen atmospheric at room temperature for 1 h to give 40% I (R1 = cyclobutoxy, R2 = isopropyl) (II). II and I (R1 = cyclopentyloxy, R2 = 1,3-dichloro-2-propyl) in vitro inhibited lipopolysaccharide-stimulated expression of E-selectin in human umbilical vein endothelial cells (HUVEC) by 45.8 and 93.5%, resp.

IT **240426-12-4P 240426-13-5P 240426-16-8P 240426-17-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindolizine (imidazopyridine) derivs. as inhibitors of cell adhesion mols. for treatment of diseases)

RN 240426-12-4 CAPLUS
CN 2-Propenoic acid, 3-[8-(acetylamino)-2-(cyclopentyloxy)imidazo[1,2-a]pyridin-3-yl]-2-cyano- (9CI) (CA INDEX NAME)

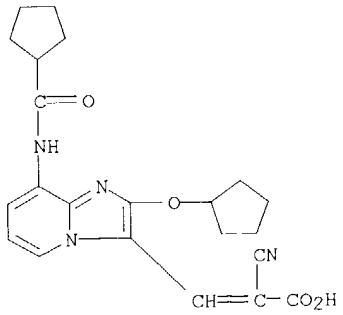


RN 240426-13-5 CAPLUS
CN 2-Propenoic acid, 3-[8-(acetylamino)-2-(cyclopentyloxy)imidazo[1,2-a]pyridin-3-yl]-2-cyano-, monosodium salt (9CI) (CA INDEX NAME)

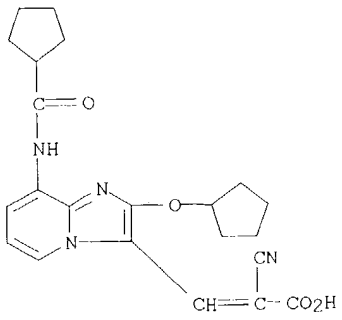


● Na

RN 240426-16-8 CAPLUS
CN 2-Propenoic acid, 2-cyano-3-[8-[(cyclopentylcarbonyl)amino]-2-(cyclopentyloxy)imidazo[1,2-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 240426-17-9 CAPLUS
CN 2-Propenoic acid, 2-cyano-3-[8-[(cyclopentylcarbonyl)amino]-2-(cyclopentyloxy)imidazo[1,2-a]pyridin-3-yl]-, monosodium salt (9CI) (CA INDEX NAME)

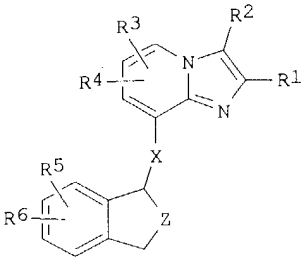


● Na

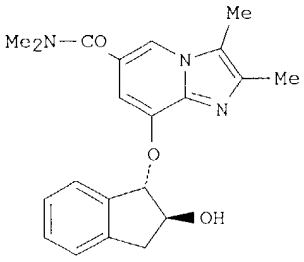
L15 ANSWER 1 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:453215 CAPLUS
DN 141:7116
TI Preparation of 8-substituted imidazopyridines as gastric secretion inhibitors
IN Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Buhr, Wilm; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004046144	A1	20040603	WO 2003-EP12787	20031115
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
PRAI EP 2002-25866	A	20021119		

OS MARPAT 141:7116
GI



I



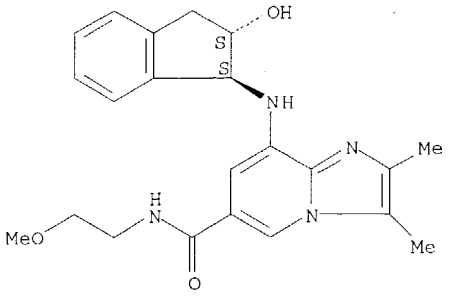
II

AB 8-Substituted imidazopyridines of formula I [R1 = H, alkyl, cycloalkyl, alkoxy, etc.; R2 = H, alkyl, aryl, cycloalkyl, halo, etc.; R3, R4 = H, halo, alkyl, carboxy, alkoxycarbonyl, etc.; R5 = H, alkyl, alkoxy, OH, nitro, (substituted) amino, etc.; R6 = H, alkyl, alkoxy, alkoxycarbonyl, etc.; X = O, NH; Z = (substituted) CH2, (substituted) CH2CH2] are prepared The compds. have gastric secretion inhibiting and excellent gastric and intestinal protective action properties. Thus, II was prepared from Et 2,3-dimethyl-8-(benzyloxy)imidazo[1,2-a]pyridine-6-carboxylate, 1,2-epoxyindane and dimethylamine. II had >30% inhibition of acid secretion in perfused rat stomach at 1 μmol/kg i.d.

IT **697254-99-2P 697255-01-9P 697255-03-1P**
697255-05-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridines as gastric secretion inhibitors)

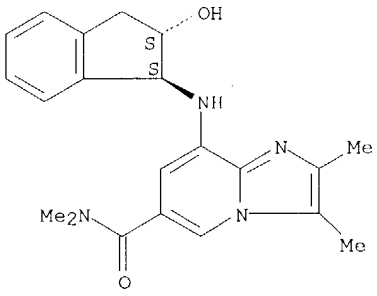
RN 697254-99-2 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-N-(2-methoxyethyl)-2,3-dimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



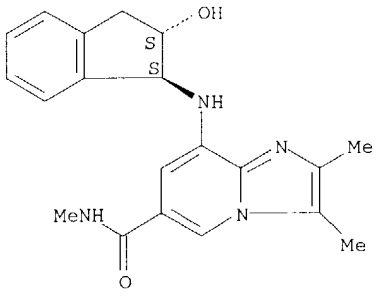
RN 697255-01-9 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-N,N,2,3-tetramethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



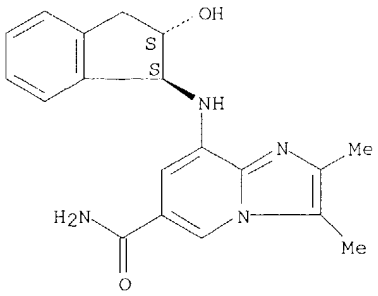
RN 697255-03-1 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-N,2,3-trimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



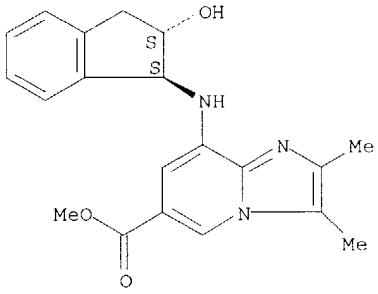
RN 697255-05-3 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2,3-dimethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



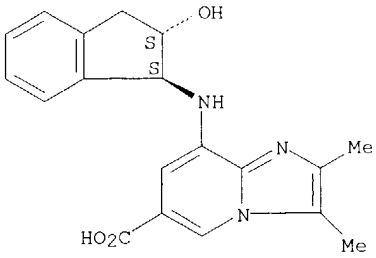
IT **697254-95-8P 697254-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazopyridines as gastric secretion inhibitors)
RN 697254-95-8 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxylic acid, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2,3-dimethyl-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 697254-97-0 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxylic acid, 8-[[(1R,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2,3-dimethyl-, rel- (9CI) (CA INDEX NAME)

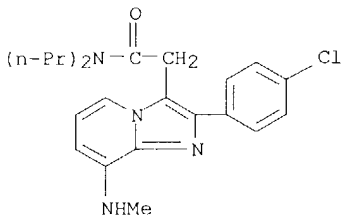
Relative stereochemistry.



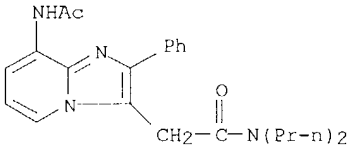
L15 ANSWER 10 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:572028 CAPLUS
DN 139:374249
TI QSAR of peripheral benzodiazepine receptor ligand 2-phenylimidazo-[1,2-a]pyridine derivatives with physico-chemical parameters
AU Roy, Kunal; De, A. U.; Sengupta, Chandana
CS Drug Theoretics and Cheminformatics Lab, Division of Medicinal and Pharmaceutical Chemistry, Department of Pharmaceutical Technology, Jadavpur University, Calcutta, 700 032, India
SO Indian Journal of Biochemistry & Biophysics (2003), 40(3), 203-208
CODEN: IJBBBQ; ISSN: 0301-1208
PB National Institute of Science Communication and Information Resources

10664338

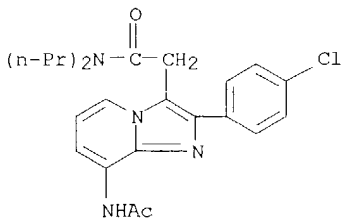
DT Journal
LA English
AB QSAR of the binding affinities of [2-phenylimidazo[1,2-a]pyridin-3-yl]acetamide derivs. (Fig. 1) with central and peripheral (from cortex and ovary) benzodiazepine receptors has been explored using physico-chemical parameters. Attempt has been made to explore the structural and/or physico-chemical requirements of the compds. that are responsible for the selective action against peripheral benzodiazepine receptors over central ones. The results indicate that the presence of bisubstitution on the carboxamido nitrogen, presence of substitutions at X and Y positions, especially, chloro substitution at X position, and presence of chloro substitution at Z position in presence of lipophilic X and/or Y substitutions increase selectivity for binding affinity with peripheral benzodiazepine receptors over central ones.
IT **247085-50-3 247085-51-4 247085-52-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR of peripheral benzodiazepine receptor ligand 2-phenylimidazo-[1,2-a]pyridine derivs. with physico-chemical parameters)
RN 247085-50-3 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetamide, 2-(4-chlorophenyl)-8-(methylamino)-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 247085-51-4 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetamide, 8-(acetylamino)-2-phenyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 247085-52-5 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetamide, 8-(acetylamino)-2-(4-chlorophenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594676 CAPLUS
DN 137:145601

10664338

TI Crystal forms of 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)imidazo(1,2-a)pyridine-6-carboxamide hydrochloride

IN Dahlstroem, Mikael; Loevqvist, Karin; Malm, Bengt
PA Astrazeneca Ab, Swed.

SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060442	A1	20020808	WO 2002-SE164	20020130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

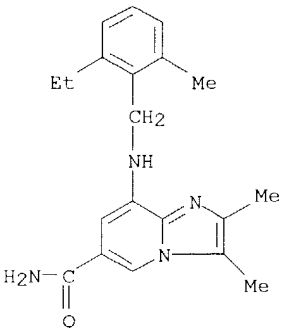
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI SE 2001-295 A 20010201

AB The present invention relates to novel forms of 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)imidazo[1,2-a]pyridine-6-carboxamide-HCl salt. Further, the present invention also relates to use of the compound for the treatment of gastrointestinal disorders. Thus, the form of A 2,3-dimethyl-8-(2-ethyl-6-methylbenzylamino)imidazo(1,2-a)pyridine-6-carboxamide-HCl was prepared by the reaction of 2,3-Dimethyl-8-(2-ethyl-6-methylbenzylamino)imidazo(1,2-a)pyridine-6-carboxamide by dissoln. in 1-BuOH and treatment with HCl gas, and the sample was filtered. The precipitate was suspended in EtOAc and heated to reflux, cooled to room them. and the suspension was filtered, and the solid was dried. The product was characterized by x-ray diffraction.

IT **444988-33-4P 444988-34-5P 444988-35-6P 444988-36-7P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal forms of dimethyl(ethylmethylbenzylamino)imidazopyridinecarboxamide hydrochloride)

RN 444988-33-4 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-2,3-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

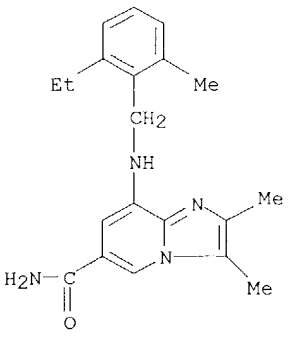
RN 444988-34-5 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-2,3-dimethyl-, monohydrochloride, compd. with 1-propanol (9CI) (CA INDEX NAME)

CM 1

CRN 248281-68-7

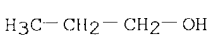
10664338

CMF C20 H24 N4 O



CM 2

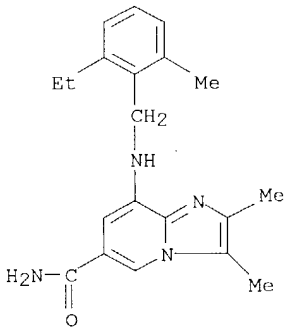
CRN 71-23-8
CMF C3 H8 O



RN 444988-35-6 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-2,3-dimethyl-, monohydrochloride, compd. with 2-propanone (9CI) (CA INDEX NAME)

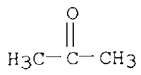
CM 1

CRN 248281-68-7
CMF C20 H24 N4 O



CM 2

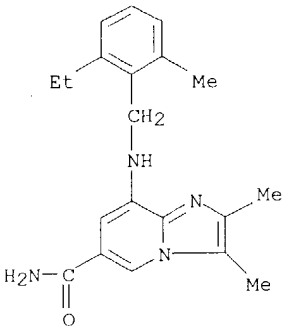
CRN 67-64-1
CMF C3 H6 O



RN 444988-36-7 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-2,3-dimethyl-, monohydrochloride, compd. with ethanol (9CI) (CA INDEX NAME)

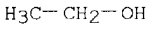
CM 1

CRN 248281-68-7
CMF C20 H24 N4 O

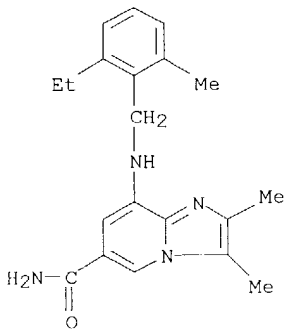


CM 2

CRN 64-17-5
CMF C2 H6 O



IT **248281-68-7**
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(crystal forms of dimethyl(ethylmethylbenzylamino)imidazopyridinecarboxamide hydrochloride)
RN 248281-68-7 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-2,3-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 30 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:144879 CAPLUS
DN 132:180576
TI Preparation of imidazo[1,2-a]pyridines for prevention and treatment of gastrointestinal inflammatory diseases
IN Amin, Kosrat; Dahlstrom, Mikael; Nordberg, Peter; Starke, Ingemar
PA Astra Aktiebolag, Swed.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010999	A2	20000302	WO 1999-SE1401	19990818
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2339372	AA	20000302	CA 1999-2339372	19990818
	AU 9957678	A1	20000314	AU 1999-57678	19990818
	AU 770511	B2	20040226		
	BR 9913102	A	20010508	BR 1999-13102	19990818
	EP 1105390	A2	20010613	EP 1999-944965	19990818
	EP 1105390	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002523414	T2	20020730	JP 2000-566272	19990818
	NZ 509744	A	20030530	NZ 1999-509744	19990818
	AT 242242	E	20030615	AT 1999-944965	19990818
	PT 1105390	T	20031031	PT 1999-944965	19990818
	ES 2201769	T3	20040316	ES 1999-944965	19990818
	US 6613775	B1	20030902	US 1999-403510	19991022
	ZA 2001000911	A	20020502	ZA 2001-911	20010201
	NO 2001000861	A	20010410	NO 2001-861	20010220
	HK 1036274	A1	20031205	HK 2001-106665	20010920
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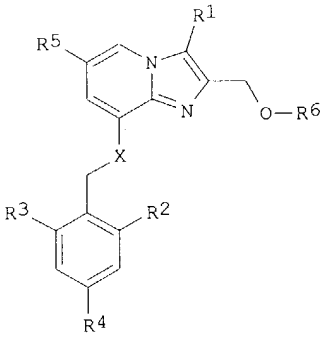
OS MARPAT 132:180576

GI



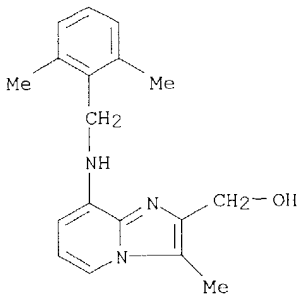
I

AB The title compds. [I; R1 = H, Me, CH2OH; R2, R3 = alkyl; R4 = H, halo; R5 = H, alkyl; R6 = H, alkylcarbonyl, (un)substituted cycloalkylcarbonyl, etc.; X = NH, O] which inhibit exogenously or endogenously stimulated gastric acid secretion (no data) and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases (e.g., conditions involving infection by Helicobacter pylori of human gastric mucosa), were prepared Thus, treatment of Et 8-(2,6-dimethylbenzylamino)-3-methylimidazo[1,2-a]pyridin-2-carboxylate (preparation given) with LiAlH4 in THF afforded 73% I [R1 = Me; R2 = R3 = Me; R4 = R5 = H; R6 = H; X = NH].

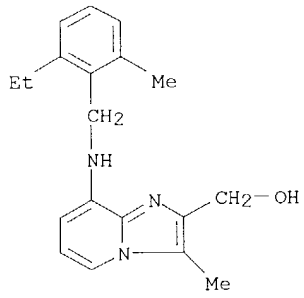
IT **259523-80-3P 259523-82-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazo[1,2-a]pyridines for prevention and treatment of gastrointestinal inflammatory diseases)

RN 259523-80-3 CAPLUS

CN Imidazo[1,2-a]pyridine-2-methanol, 8-[[(2,6-dimethylphenyl)methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

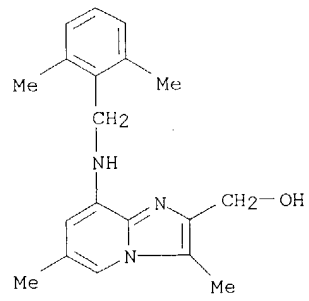


RN 259523-82-5 CAPLUS
CN Imidazo[1,2-a]pyridine-2-methanol, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

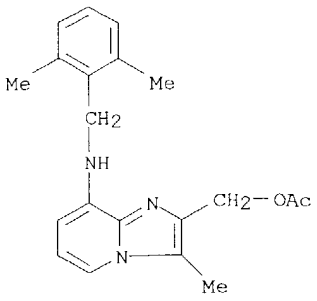


IT **259523-84-7P 259523-86-9P 259523-88-1P**
259523-90-5P 259523-92-7P 259523-94-9P
259523-96-1P 259523-98-3P 259524-00-0P
259524-02-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[1,2-a]pyridines for prevention and treatment of gastrointestinal inflammatory diseases)

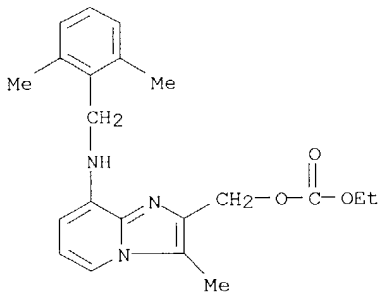
RN 259523-84-7 CAPLUS
CN Imidazo[1,2-a]pyridine-2-methanol, 8-[[(2,6-dimethylphenyl)methyl]amino]-3,6-dimethyl- (9CI) (CA INDEX NAME)



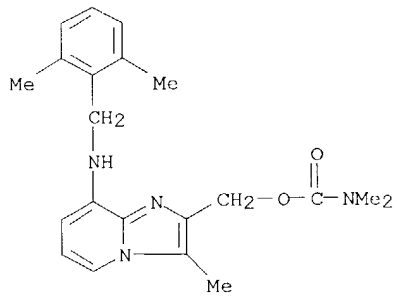
RN 259523-86-9 CAPLUS
CN Imidazo[1,2-a]pyridine-2-methanol, 8-[[(2,6-dimethylphenyl)methyl]amino]-3-methyl-, acetate (ester) (9CI) (CA INDEX NAME)



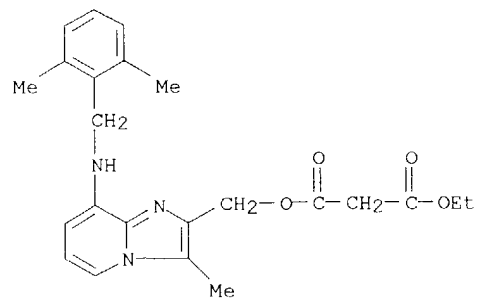
RN 259523-88-1 CAPLUS
CN Carbonic acid, [8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl ethyl ester (9CI) (CA INDEX NAME)



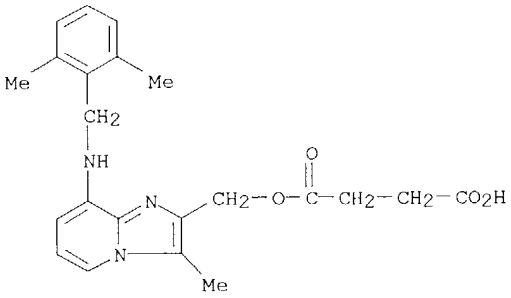
RN 259523-90-5 CAPLUS
CN Carbamic acid, dimethyl-, [8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl ester (9CI) (CA INDEX NAME)



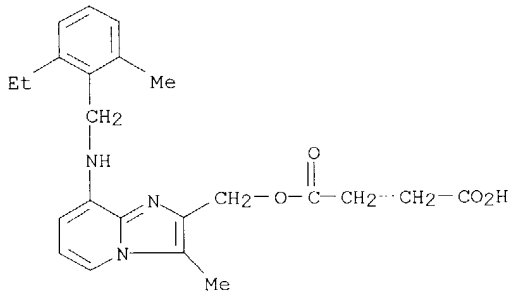
RN 259523-92-7 CAPLUS
CN Propanedioic acid, [8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl ethyl ester (9CI) (CA INDEX NAME)



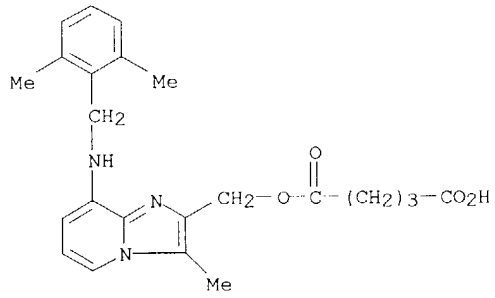
RN 259523-94-9 CAPLUS
CN Butanedioic acid, mono[[8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl] ester (9CI) (CA INDEX NAME)



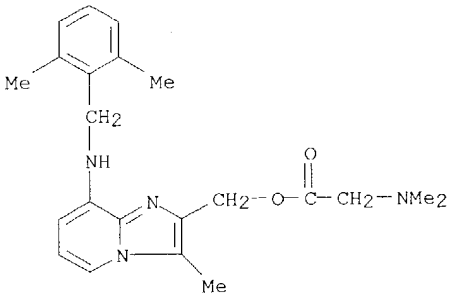
RN 259523-96-1 CAPLUS
CN Butanedioic acid, mono[[8-[[(2-ethyl-6-methylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl] ester (9CI) (CA INDEX NAME)



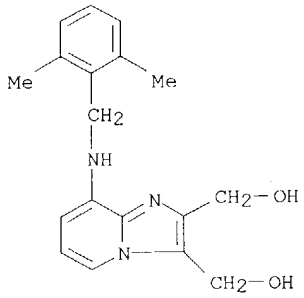
RN 259523-98-3 CAPLUS
CN Pentanedioic acid, mono[[8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl] ester (9CI) (CA INDEX NAME)



RN 259524-00-0 CAPLUS
CN Glycine, N,N-dimethyl-, [8-[[(2,6-dimethylphenyl)methyl]amino]-3-methylimidazo[1,2-a]pyridin-2-yl]methyl ester (9CI) (CA INDEX NAME)

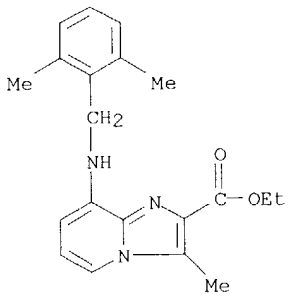


RN 259524-02-2 CAPLUS
CN Imidazo[1,2-a]pyridine-2,3-dimethanol, 8-[[(2,6-dimethylphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

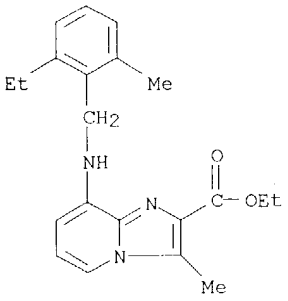


IT **259524-05-5P 259524-07-7P 259524-11-3P**
259524-15-7P 259524-17-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazo[1,2-a]pyridines for prevention and treatment of gastrointestinal inflammatory diseases)

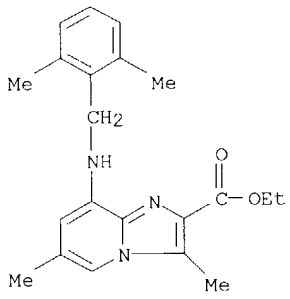
RN 259524-05-5 CAPLUS
CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 8-[[(2,6-dimethylphenyl)methyl]amino]-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



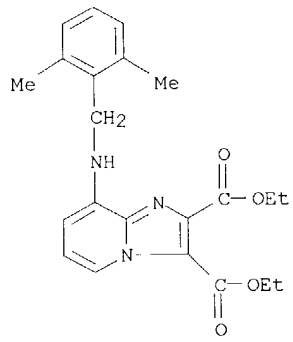
RN 259524-07-7 CAPLUS
CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 8-[[(2-ethyl-6-methylphenyl)methyl]amino]-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 259524-11-3 CAPLUS
CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 8-[[(2,6-dimethylphenyl)methyl]amino]-3,6-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

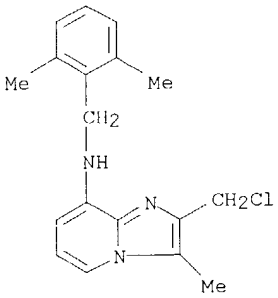


RN 259524-15-7 CAPLUS
CN Imidazo[1,2-a]pyridine-2,3-dicarboxylic acid, 8-[[(2,6-dimethylphenyl)methyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)

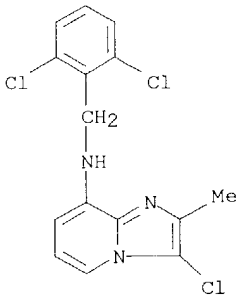


RN 259524-17-9 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 2-(chloromethyl)-N-[(2,6-dimethylphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)

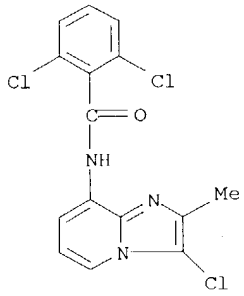
10664338



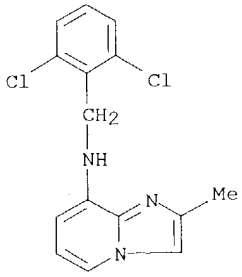
L15 ANSWER 40 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:66714 CAPLUS
DN 128:136098
TI A Novel Class of Orally Active Non-Peptide Bradykinin B2 Receptor Antagonists. 1. Construction of the Basic Framework
AU Abe, Yoshito; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Imai, Keisuke; Inamura, Noriaki; Asano, Masayuki; Hatori, Chie; Katayama, Akira; Oku, Teruo; Tanaka, Hirokazu
CS Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ibaraki, 300-26, Japan
SO Journal of Medicinal Chemistry (1998), 41(4), 564-578
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A novel class of potent, selective, and orally active non-peptide bradykinin (BK) B2 receptor antagonists were designed and synthesized starting from 8-benzyloxyimidazo[1,2-a]pyridine derivative(I). The unique screening lead I was discovered by a 2-step intentional random screening process, involving recognition of the relationship between BK and angiotensin II (Ang II) and the common structural features. Systematic chemical modification of I elucidated the structural requirements essential for B2 binding affinity leading to the identification of 8-[[3-(N-acylglycyl-N-methylamino)-2,6-dichlorobenzyl]oxy]-3-halo-2-methylimidazo[1,2-a]pyridine skeleton as the basic framework of this new series of B2 antagonists. A mol. modeling study suggested the key role of the N-methylanilide moiety at the 3-position of the 2,6-dichlorobenzene ring to allow these compds. to adopt the characteristic active conformation. The representative lead compds. inhibited the specific binding of [3H]BK to guinea pig ileum membrane prepns. expressing B2 receptors, with nanomolar IC50s and also displayed in vivo functional antagonistic activities against BK-induced bronchoconstriction in guinea pigs at an oral dose of 1 mg/kg. Pharmacokinetic studies of the N-butylamide and Et urea moieties at the 3-position of the 2,6-dichlorobenzene in rats highlighted their excellent oral bioavailabilities, indicating that they represent the first orally active non-peptide B2 antagonists reported to date.
IT **160646-72-0P 185131-79-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and MSBAR of nonpeptide bradykinin B2 receptor antagonists)
RN 160646-72-0 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, 3-chloro-N-[(2,6-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)



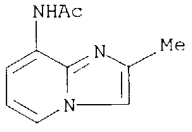
RN 185131-79-7 CAPLUS
CN Benzamide, 2,6-dichloro-N-(3-chloro-2-methylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



IT **160647-49-4P 160648-23-7P 185130-88-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and MSBAR of nonpeptide bradykinin B2 receptor antagonists)
RN 160647-49-4 CAPLUS
CN Imidazo[1,2-a]pyridin-8-amine, N-[(2,6-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

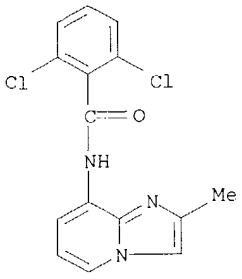


RN 160648-23-7 CAPLUS
CN Acetamide, N-(2-methylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA INDEX NAME)



RN 185130-88-5 CAPLUS
CN Benzamide, 2,6-dichloro-N-(2-methylimidazo[1,2-a]pyridin-8-yl)- (9CI) (CA

INDEX NAME)

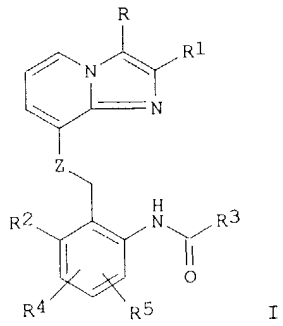


RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 50 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:349831 CAPLUS
DN 125:33637
TI Preparation of N-[(imidazopyridinylamino)methylphenyl]carbamates and analogs as gastric acid secretion inhibitors
IN Riedel, Richard; Postius, Stefan; Simon, Wolfgang-Alexander; Rainer, Georg; Senn-Bilfinger, Joerg; Grundler, Gerhard
PA Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9603403	A1	19960208	WO 1995-EP2952	19950726
	W: AU, BG, BR, BY, CA, CN, CZ, EE, FI, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2196077	AA	19960208	CA 1995-2196077	19950726
	AU 9531660	A1	19960222	AU 1995-31660	19950726
	AU 700737	B2	19990114		
	EP 773944	A1	19970521	EP 1995-927725	19950726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 10505331	T2	19980526	JP 1995-505480	19950726
PRAI	CH 1994-2389		19940728		
	WO 1995-EP2952		19950726		

OS MARPAT 125:33637
GI



I

AB Title compds. (I; R = Me or CH2OH; R1 = alkyl; R2,R4 = H, halo, alkyl, alkoxy, CF3; R3 = alkoxy; R5 = H, halo, alkyl, alkoxy; Z = O or NH) were prepared Thus, 8-amino-2-methylimidazo[1,2-a]pyridine-3-carboxaldehyde was N-alkylated by 3,2-Cl(ClH2C)C6H3NHCO2Me and the product reduced to give I

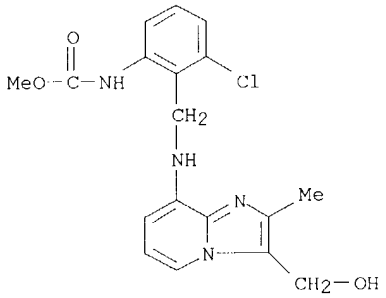
(R = CH2OH, R1 = Me, R2 = Cl, R3 = OMe, R4 = R5 = H, Z = NH) which gave 97% inhibition of pentagastrin-induced gastric acid secretion in rats at 6μmol/kg i.v.

IT **177531-58-7P 177531-59-8P 177531-60-1P 177531-61-2P 177531-62-3P 177531-63-4P 177531-65-6P 177531-68-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(imidazopyridinylamino)methylphenyl]carbamates and analogs as gastric acid secretion inhibitors)

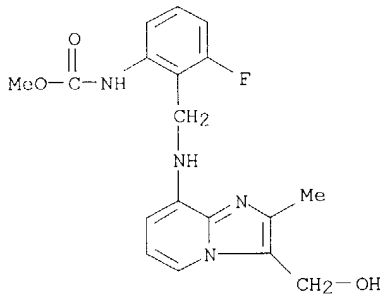
RN 177531-58-7 CAPLUS

CN Carbamic acid, [3-chloro-2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



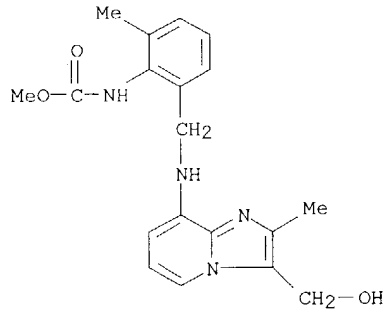
RN 177531-59-8 CAPLUS

CN Carbamic acid, [3-fluoro-2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



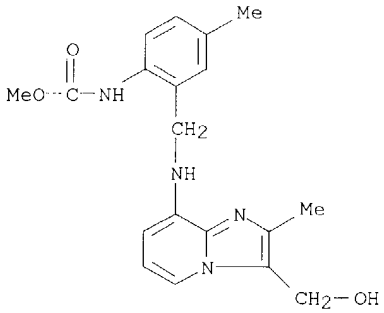
RN 177531-60-1 CAPLUS

CN Carbamic acid, [2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]-6-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)

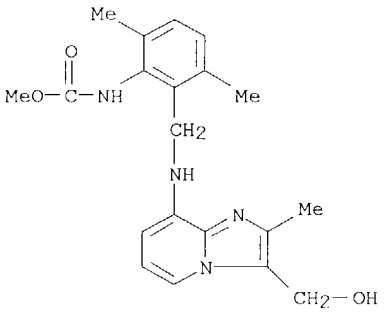


RN 177531-61-2 CAPLUS

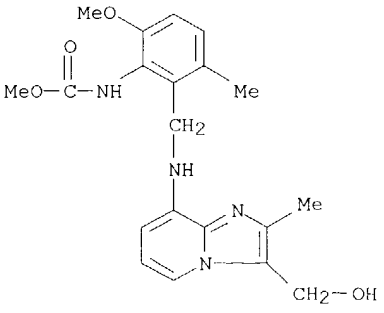
CN Carbamic acid, [2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]-4-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



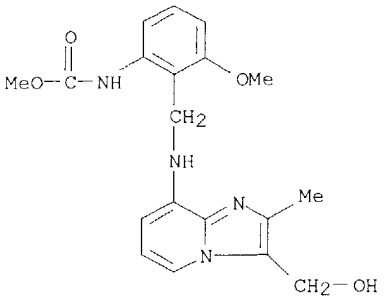
RN 177531-62-3 CAPLUS
CN Carbamic acid, [2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]-3,6-dimethylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



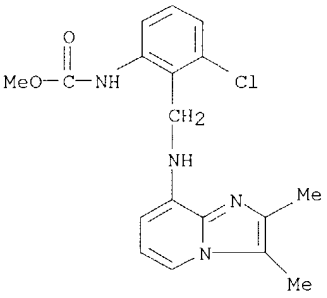
RN 177531-63-4 CAPLUS
CN Carbamic acid, [2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]-6-methoxy-3-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 177531-65-6 CAPLUS
CN Carbamic acid, [2-[[[3-(hydroxymethyl)-2-methylimidazo[1,2-a]pyridin-8-yl]amino]methyl]-3-methoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)

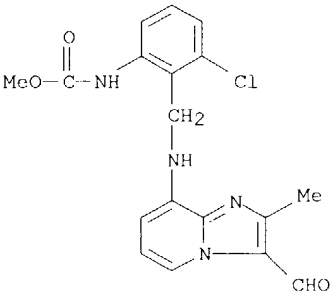


RN 177531-68-9 CAPLUS
CN Carbamic acid, [3-chloro-2-[[(2,3-dimethylimidazo[1,2-a]pyridin-8-yl)amino]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

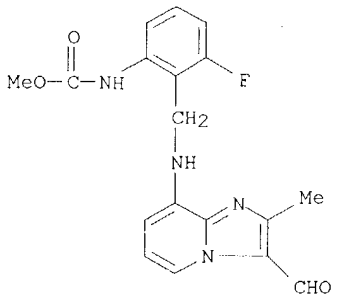


IT **177531-82-7P 177531-83-8P 177531-84-9P**
177531-85-0P 177531-86-1P 177531-87-2P
177531-88-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[(imidazopyridinylamino)methylphenyl]carbamates and analogs as gastric acid secretion inhibitors)

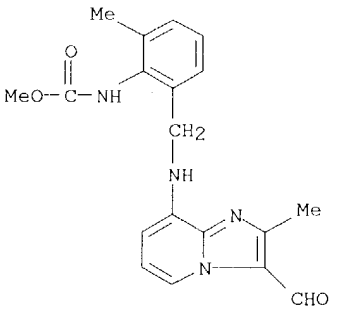
RN 177531-82-7 CAPLUS
CN Carbamic acid, [3-chloro-2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



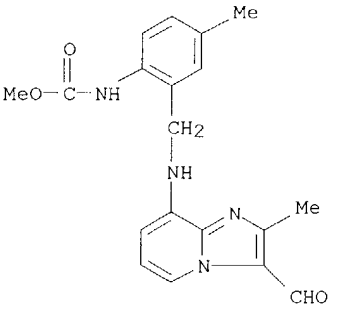
RN 177531-83-8 CAPLUS
CN Carbamic acid, [3-fluoro-2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



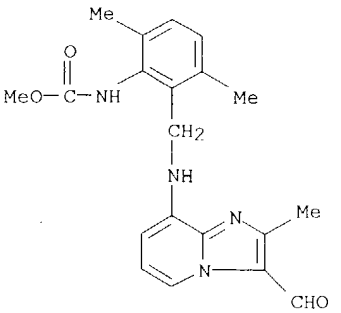
RN 177531-84-9 CAPLUS
CN Carbamic acid, [2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-6-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



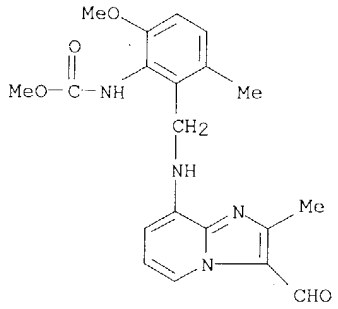
RN 177531-85-0 CAPLUS
CN Carbamic acid, [2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-4-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



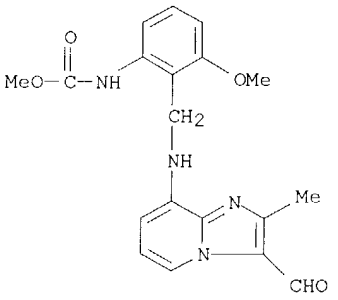
RN 177531-86-1 CAPLUS
CN Carbamic acid, [2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-3,6-dimethylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 177531-87-2 CAPLUS
CN Carbamic acid, [2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-6-methoxy-3-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)



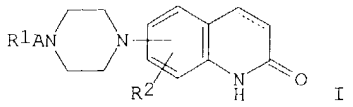
RN 177531-88-3 CAPLUS
CN Carbamic acid, [2-[[(3-formyl-2-methylimidazo[1,2-a]pyridin-8-yl)amino]methyl]-3-methoxyphenyl]-, methyl ester (9CI) (CA INDEX NAME)



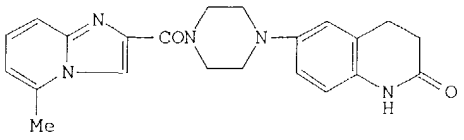
L15 ANSWER 60 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:122409 CAPLUS
DN 114:122409
TI Preparation of piperazinylquinolinones as cardiovascular agents
IN Kato, Masayuki; Nishino, Shigetaka; Ito, Kiyotaka; Takasugi, Hisashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 370381	A2	19900530	EP 1989-121183	19891116
	EP 370381	A3	19910626		
	EP 370381	B1	19950510		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8908522	A	19900829	ZA 1989-8522	19891108
	AU 8944542	A1	19900524	AU 1989-44542	19891109
	JP 02167266	A2	19900627	JP 1989-293455	19891110
	US 4988698	A	19910129	US 1989-434256	19891113
	AT 122350	E	19950515	AT 1989-121183	19891116
	CA 2003397	AA	19900521	CA 1989-2003397	19891120
	DK 8905830	A	19900522	DK 1989-5830	19891120
	NO 8904610	A	19900522	NO 1989-4610	19891120
	CN 1042905	A	19900613	CN 1989-108752	19891120
PRAI	GB 1988-27189		19881121		

OS MARPAT 114:122409
GI



I



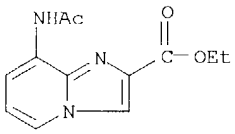
II

AB The title compds. [I; R1 = (substituted) imidazopyridyl, thiazolyl, indolyl, dihydroindolyl, imidazolyl, benzimidazolyl, quinolyl, isoquinolyl, quinazolinyl, dihydroisoquinolyl, tetrahydroimidazopyridyl, tetrahydroquinolyl; R2 = H, halo, alkyl; A = bond, COX1, CH(OH), X, XCO; X = bond, alkylene; X1 = alkylene], were prepared Thus, a mixture of 5-methylimidazolo[1,2-a]pyridine-2-carboxylic acid, 1-hydroxybenzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in DMF was stirred 1h and 6-(1-piperazinyl)-3,4-dihydro-2(1H)-quinolinone was added. The mixture was stirred 10 h to give amide II. II at 0.32 mg/kg i.v. in dogs increased the ventricular pressure (dp/dt) by 54%.

IT **129912-29-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of, in preparation of cardiovascular agent)

RN 129912-29-4 CAPLUS

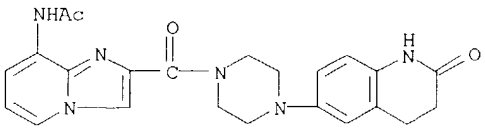
CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 8-(acetylamino)-, ethyl ester (9CI) (CA INDEX NAME)



IT **129911-31-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 129911-31-5 CAPLUS

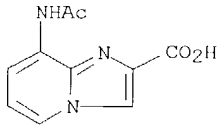
CN Acetamide, N-[2-[[4-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1-piperazinyl]carbonyl]imidazo[1,2-a]pyridin-8-yl]- (9CI) (CA INDEX NAME)



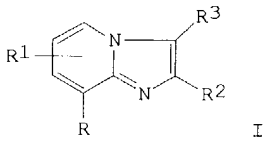
IT **129912-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiovascular agent)

RN 129912-23-8 CAPLUS

CN Imidazo[1,2-a]pyridine-2-carboxylic acid, 8-(acetylamino)- (9CI) (CA INDEX NAME)



L15 ANSWER 70 OF 74 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:400192 CAPLUS
DN 103:192
TI Antiulcer agents. 1. Gastric antisecretory and cytoprotective properties of substituted imidazo[1,2-a]pyridines
AU Kaminski, James J.; Bristol, James A.; Puchalski, Chester; Lovey, Raymond G.; Elliott, Arthur J.; Guzik, Henry; Solomon, Daniel M.; Conn, David J.; Domalski, Martin S.; et al.
CS Pharm. Res. Div., Schering-Plough Corp., Bloomfield, NJ, 07003, USA
SO Journal of Medicinal Chemistry (1985), 28(7), 876-92
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

AB The title compds. (I; R = H, OH, CHO, PhO, (un)substituted benzyloxy, PhCH2NH, etc.; R1 = H, or PhCH2CH2; R2 = H, Me, Et, CHMe2; R3 = H, Me, CO2H, CO2Et, CN, CH2CN, etc.), prepared in general by condensation of substituted 2-aminopyridines with α-halocarbonyls, were evaluated for gastric antisecretory activity in the pylorus-ligated rat and inhibition of histamine-stimulated gastric secretion in the adult dog and gastric cytoprotective activity in the rat. In the pylorus-ligated rat, I were given at 40 mg/kg i.p., at time of ligation and reduction in acid output was measured after 4 h, and in the dog I was 1st administered i.v. 0.1-5 mg/kg and reduction in the acid output relative to nondrug-treated control value in the same animal was measured. For gastric cytoprotective activity I was given orally 1-30 mg/kg 30 min before oral administration of absolute EtOH, and the effect against EtOH-induced lesions was determined after 1 h. The results show that I are not histamine (H2) receptor antagonists nor are they prostaglandin analogs, yet they exhibit both gastric antisecretory and cytoprotective properties. The mechanism of gastric antisecretory activity may involve inhibition of H+/K+-ATPase. 3-(Cyanomethyl)-2-methyl-8-(phenylmethoxy)imidazo[1,2-a]pyridine (I); R = PhCH2O, R1 = H, R2 = Me, R3 = CH2CN)(SCH 28080) [76081-98-6] was selected for clin. evaluation. Structure-activity relations are discussed.

IT **79707-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and stomach antisecretory and antiulcer activities of)
RN 79707-13-4 CAPLUS
CN Imidazo[1,2-a]pyridine-3-acetonitrile, 2-methyl-8-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)